=>

chain nodes :

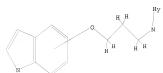
Uploading C:\Program Files\Stnexp\Queries\10552358-6.str

10 11 12 13 14 15
ring nodes:
1 2 3 4 5 6 7 8 9
ring/chain nodes:
1 1 2 3 4 5 6 7 8 9
ring/chain nodes:
16 17 18 19 20 21
chain bonds:
10-11 11-12 11-16 11-17 12-13 12-18 12-19 13-14 13-20 13-21 14-15
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds:
5-7 6-9 7-8 8-9 10-11 13-14 14-15
exact bonds:
11-12 11-16 11-17 12-13 12-18 12-19 13-20 13-21
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS
21:CLASS 22:Atom

### L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 12:56:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 16478 TO ITERATE

12.1% PROCESSED 2000 ITERATIONS

1 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*
321871 TO 337249

PROJECTED ITERATIONS: 321871 TO 337249
PROJECTED ANSWERS: 1 TO 336

L2 1 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 12:56:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 330981 TO ITERATE

99.0% PROCESSED 327586 ITERATIONS

184 ANSWERS

100.0% PROCESSED 330981 ITERATIONS SEARCH TIME: 00.00.18 184 ANSWERS

L3 184 SEA SSS FUL L1

=> file caplus

FILE 'CAPLUS' ENTERED AT 12:57:19 ON 08 SEP 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 8 Sep 2008 VOL 149 ISS 11 FILE LAST UPDATED: 7 Sep 2008 (20080907/ED)
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http://www.cas.org/legal/infopolicy.html

=> s 13

17 L3

=> d cbib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 17 ANSWERS - CONTINUE? Y/(N):v

L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

2007:1475771 Document No. 148:100502 Preparation of substituted indoles for treating hepatitic C. Karp, Gary M.; Hwang, Peter Seongwoo; Takasudi, James J.; Ren, Hongyu; Wilde, Richard Gerald; Turpoff, Anthony A.; Arefolov, Alexander; Chen, Guangming; Campbell, Jeffrey A. (USA). U.S. Pat. Appl. Publ. US 20070299068 Al 20071227, 408pp., Cont.-in-part of U.S. Ser. No. 331,180. (English). CODEN: USXXCO. APPLICATION: US 2007-653448 2007016. PRIORITY: US 2006-331180 20060113; US 2006-758527P 20060113.

- AB The title compds. I [X = NO2, CO2H, halo, etc.; Y = (un)substituted benzothiazolyl, indolyl, etc.; Z = alkyl optionally substituted with 5-6 membered heterocyclyl, or 5-6 membered heterocyclyl, R = H; RI = H, 5-6 membered heterocyclyl, or 5-6 membered heterocyclyl, R = H; RI = H, 5-6 membered heterocyclyl, (un)substituted alkyl, etc.; R2 = (un)substituted alkyl, alkylthio, alkyl, etc.; R3 = H; with the provisos), useful for treating Hepatitis C viral infection, were prepared Thus, treating 1-ethyl-6-methoxy-HI,1'H-[2,5']biindolyl-3-carbonitrile with methanesulfonyl chloride afforded 81% II which showed IC50 between 0.5 µM and 2 µM when tested in HCV replicon or HCV-PV systems. This invention provides also pharmaceutical compns. comprising compds. I, and methods of using such compds. or compns. for treating infection by a virus, or for affecting viral IRES activity.

  II 944564-37-8P
- 11 343061-3/1-08 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of substituted indoles for treating hepatitis C)  ${\tt RN} \quad 944564-37-8 \quad {\tt CAPLUS}$
- CN Carbamic acid, N-[4-[3-cyano-1-ethyl-5-[3-(4-morpholinylamino)propoxy]-1H-indol-2-yl]phenyl]-, cyclopentyl ester (CA INDEX NAME)

- L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007;911840 Document No. 147;269229 Methods for the selective modulation of PPAR. Shiau, Andrew K.; Massari, Mark Eben; Oshiro, Guy; Kabakibi, Ayman; Malecha, James W.; Noble, Stewart A. (Kalypsys, Inc., USA). U.S. Pat. Appl. Publ. US 20070190079 A1 20070816, 25pp., Cont.-in-part of U.S. Ser. No. 256,463. (English). CODEN: USXXCO. APPLICATION: US 2007-68799 20070319. PRIORITY: US 2004-623252P 20041029; US 2005-258463 20051025; US 2006-83708P 20060317.
- AB The present invention relates to methods of selective modulation of peroxisome proliferator activated receptors (PPARs) over G-protein coupled receptor 40 (GPR40), and the use of therapeutically effective amts. of compds. and pharmaceutical compns. which selectively modulate PPAR over GPR40 for the treatment of diseases in patients in need thereof. The methods disclosed herein are exceptionally useful in treating metabolic diseases while avoiding certain side effects common to modulators of PPAR previously disclosed in the art.
  - 781659-23-2
- RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (selective modulation of PPAR)
- RN 781659-23-2 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[[[2,4-bis(trifluoromethyl)phenyl]methyl](5-ethyl-2-pyrimidinyl)amino]propoxy]- (CA INDEX NAME)

- L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
- 2007:817570 Document No. 147:189067 Preparation of substituted indoles for treating hepatitis C. Karp, Gary Mitchell (PTC Therapeutics, Inc., USA). PCT Int. Appl. No 20070844413 A2 20070726, 7019p. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK,

LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG; RM: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, MI, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: MO 2007-US923 20070116. PRIORITY: US 2006-758527P 20060113; US 2006-331180 20060113; US 2007-53436 20070113.

GΙ

- AB The title compds. I [X = CN, NO2, CHO, CO2H, etc.; Y = (un)substituted benzothiazolyl, indolyl, setc.; Z = alkyl optionally substituted with 5-6 membered heterocyclyl, or 5-6 membered heterocyclyl, R = H; R1 = H, 5-6 membered heterocyclyl, (un)substituted alkyl, etc.; R2 = (un)substituted alkyl, alkylthio, alkyl, etc.; R3 = H; with the provisol, useful for treating Hepatitis C viral infection, were prepared Thus, treating 1-ettyl-6-methoxy-HH,1'H-[2,5']biindolyl-3-carbonitrile with methanesulfonyl chloride afforded 81% II which showed IC50 between 0.5 µM and 2 µM when tested in HCV replicon or HCV-PV systems. This invention provides also pharmaceutical compns. comprising compds. I, and methods of using such compds. or compns. for treating infection by a virus, or for affecting viral IRES activity.
- T 944564-37-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (preparation of substituted indoles for treating hepatitis C) RN 944564-37-8 CAPLUS
- CN Carbamic acid, N-[4-[3-cyano-1-ethyl-5-[3-(4-morpholinylamino)propoxy]-1H-indol-2-yl]phenyl]-, cyclopentyl ester (CA INDEX NAME)

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN 2006:693649 Document No. 145:305620 Novel potent and selective ανβ3/ανβ5 integrin dual antagonists with reduced binding affinity for human serum albumin. Raboisson, Pierre; Manthey, Carl L.; Chalkin, Margery; Lattanze, Jennifer; Crysler, Carl; Leonard, Kristi; Pan, Wenxi; Tomczuk, Bruce E.; Marugan, Juan Jose (Departments of Medicinal Chemistry, Structural Biology and Molecular Design and Informatics, Drug Discovery, Johnson & Johnson Pharmaceutical Research and Development, L.L.C., Exton, PA, 19341, USA). European Journal of Medicinal Chemistry, 41(7), 847-861 (English) 2006. CODEN: EJMCAS. ISSN: 0223-5234. OTHER SOURCES: CASREACT 145:305620. Publisher: Elsevier B.V..

AB

Pyridopyridineethoxy— and pyridopyridinepropyl—substituted indolepropanoic acids, a pyridineaminopropoxydinydroindoleacetic acid, and a substituted exopyrrolopyrimidinepropanoic acid are prepared as potential selective dual  $\alpha v\beta S$  integrin receptor antagonists with decreased binding to human serum albumin (HSA). Ammonium tetrahydronaphthyridinylethoxyindolepropanoate 1-WH3 is the most effective of the compds. prepared, with subnanomolar affinity for both  $\alpha v\beta S$  and  $\alpha v\beta S$  (ICS0 = 0.29 and 0.16 nM, resp.), low HSA protein binding (40% bound, Kd = 1.1 ± 0.4 + 103  $\mu M)$ , and improved in vitro stability toward human and mouse microsomes (99.9% and 98.7% remaining after 10 min) over previously prepared integrin receptor antagonists. The selectivities of 1-NN3 toward  $\alpha S\beta 1$  and IIDIIIa integrins is comparable to those of the initial lead integrin receptor antagonists.

Ι

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of heteroarylalkoxy-substituted indolepropanoic acids and

analogs as selective dual  $\alpha\nu\beta3$  and  $\alpha\nu\beta5$  integrin receptor antagonists with reduced binding to human serum albumin) 445490-32-4 CAPLUS

CN 1H-Indole-1-propanoic acid, 5-[3-(2-pyridinylamino)propoxy]-, ethyl ester (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN 2005:497501 Document No. 143:43770 A preparation of indole derivatives,

useful for the treatment of PPAR-related diseases. Hsieh, Hsing-Pang, Mahindroo, Neeraj; Hsu, Tsu-An; Huang, Chien-Fu; Chen, Xin; Chao, Yu-Sheng (Taiwan). U.S. Pat. Appl. Publ. US 2005124675 Al 20050609, 61 pp. (English). CODEN: USXXCO. APPLICATION: US 2004-3181 20041203. PRIORITY: US 2003-256872P. 20031204.

GI

RN

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB The invention relates to a preparation of indole derive, useful for the treatment of PPAR-related diseases. For instance, indole derivative I was prepared via etherification of 7-propyl-3-trifluoromethyl-benzo[d]isoxazol-6-ol by (chloropropyl)indole derivative II and subsequent hydrolysis (yields: etherification = 85%, hydrolysis = 90%). In PPARA transactivation assay 43 compds. showed EC50 values lower than 1 µM.
  - IT 853652-09-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. useful for the treatment of PPAR-related diseases)

RN 853652-09-2 CAPLUS

CN 1H-Indole-1-acetic acid, 4-[3-(methyl-2-pyridinylamino)propoxy]- (CA INDEX NAME)

сн<sub>2</sub>-- со<sub>2</sub>н

L4 ANSMER 6 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN 2005:378911 Document No. 143:78032 Non-peptidic ανβ3 antagonists containing indol-1-ylpropionic acids. Leonard, Kristi; Pan, Wenxi; Anaclerio, Beth; Gushue, Joan M.; Guo, Zihong; DesJarlais, Renee L.; Chaikin, Marge A.; Lattanze, Jennifer; Crysler, Carl; Manthey, Carl L.; Tomczuk, Bruce E.; Marugan, Juan Jose (Pharmaceutical Research and Development, L.L.C., Johnson & Johnson, Exton, PA, 19341, USA). Bioorganic & Medicinal Chemistry Letters, 15(10), 2679-2684 (English) 2005. CODEN: BMCLE8. ISSN: 0960-894X. OTHER SOURCES: CASREACT 143:78032. Publisher: Elsevier B.V.

AB The synthesis and structure/activity relationship of RGD mimetics that are potent inhibitors of the integrin ανβ3 are described.

Indol-1-γlpropionic acids containing a variety of basic moieties at the 5-position, as well as substitutions alpha and beta to the carboxy terminus were synthesized and evaluated. Novel compds. with improved potency have been identified.

IT 445489-78-1P 445489-79-2P 445489-80-5P 445490-29-9P 855313-65-4P 855313-66-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of indol-1-ylpropionic acids as non-peptidic vitronectin

ανβ3 receptor antagonists) 445489-78-1 CAPLUS

RN 445489-78-1 CAPLUS CN 1H-Indole-1-propano

CN 1H-Indole-1-propanoic acid, α-(phenylmethyl)-5-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

RN 445489-79-2 CAPLUS

CN 1H-Indole-1-propanoic acid, α-methyl-5-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

- RN 445489-80-5 CAPLUS
- CN 1H-Indole-1-propanoic acid,  $\alpha$ -propyl-5-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

- RN 445490-29-9 CAPLUS
- CN 1H-Indole-1-propanoic acid, 5-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 855313-65-4 CAPLUS
- CN Benzoic acid, 3-[5-[3-(2-pyridinylamino)propoxy]-1H-indol-1-yl]- (CA INDEX NAME)

- RN 855313-66-5 CAPLUS
- CN Benzoic acid, 4-[5-[3-(2-pyridinylamino)propoxy]-1H-indol-1-y1]- (CA INDEX NAME)

IT 445490-32-4P 855313-63-2P 855313-64-3P
855313-73-4P 855313-74-5P 855313-75-6P
RL: RCI (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACI (Reactant or reagent)

(preparation of indol-1-ylpropionic acids as non-peptidic vitronectin  $\alpha\nu\beta3$  receptor antagonists)

- RN 445490-32-4 CAPLUS
- CN 1H-Indole-1-propanoic acid, 5-[3-(2-pyridinylamino)propoxy]-, ethyl ester (CA INDEX NAME)

- RN 855313-63-2 CAPLUS
- CN Benzoic acid, 3-[5-[3-(2-pyridinylamino)propoxy]-1H-indol-1-yl]-, ethyl ester (CA INDEX NAME)

- RN 855313-64-3 CAPLUS
- CN Benzoic acid, 4-[5-[3-(2-pyridinylamino)propoxy]-lH-indol-1-y1]-, ethyl ester (CA INDEX NAME)

- RN 855313-73-4 CAPLUS
- CN 1H-Indole-1-propanoic acid, α-methyl-5-[3-(2-pyridinylamino)propoxy]-

, ethyl ester (CA INDEX NAME)

- RN 855313-74-5 CAPLUS
- CN 1H-Indole-1-propanoic acid,  $\alpha$ -propyl-5-[3-(2-pyridinylamino)propoxy]-, ethyl ester (CA INDEX NAME)

- RN 855313-75-6 CAPLUS
- CN 1H-Indole-1-propanoic acid, α-(phenylmethyl)-5-[3-(2-pyridinylamino)propoxy]-, ethyl ester (CA INDEX NAME)

- L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
- 2005:80539 Document No. 142:336209 Design, Synthesis, and Biological Evaluation of Novel Potent and Selective  $\alpha v\beta 3/\alpha v\beta 5$

Integrin Dual Inhibitors with Improved Bioavailability. Selection of the Molecular Core. Marugan, Juan Jose, Manthey, Carl; Anaclerio, Beth; Lafrance, Lou; Lu, Tianbao; Markotan, Tom; Leonard, Kristi A; Crysler, Carl; Eisennagel, Stephen; Dasgupta, Malini; Tomczuk, Bruce (Johnson and Johnson Pharmaceutical Research and Development, LLC, Exton, IA, 19341, USA). Journal of Medicinal Chemistry, 48(4), 926-934 (English) 2005. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CASREACT 142:336209. Publisher: American Chemical Society.

- A novel series of potent and selective  $\alpha v \beta 3/\alpha v \beta 5$ dual inhibitors was designed, synthesized, and evaluated against several integrins. These compds. were synthesized through a Mitsunobu reaction between the quanidinium mimetics and the corresponding central templates. Guanidinium mimetics with enhanced rigidity [i.e., [(2pyridinyl)amino[propoxy vs. the 2-(6-methylamino-2-pyridinyl)ethoxy] led to improved activity toward  $\alpha v \beta 3$ . Exemplary oral bioavailability in mice was achieved using the indole central scaffold. Although, oral bioavailability was maintained when the indole mol. core was replaced with the bioisosteric benzofuran or benzothiophene ring systems, it was found to not significantly impact the integrin activity or selectivity. However, the indole series displayed the best in vivo pharmacokinetic properties. Thus, the indole series was selected for further structure-activity relationships to obtain more potent ανβ3/ανβ5 dual antagonist with improved oral bioavailability. The compds. thus prepared and studied included 5-[3-(2-pyridinylamino)propoxy]-1H-indole-1-propanoic acid (I), 5-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-1H-indole-1-propanoic acid, 6-[2-[6-(methylamino)-2-pyridinyl]ethoxy|benzo|b|thiophene-3-propanoic acid (II), and 6-[2-[6-(methylamino)-2-pyridinyl]ethoxy]-3-
- IT 445490-29-9°
  RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
  (preparation of [[[(pyridinyl)amino]propoxy]-1H-indole-1-propanoic acid (guanidinium mimetic) and study of its activity as dual av83/av95 integrin inhibitor)
- RN 445490-29-9 CAPLUS
- CN 1H-Indole-1-propanoic acid, 5-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

benzofuranpropanoic acid (III).

IT 445490-32-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [[[(pyridinyl)amino]propoxy]-1H-indole-1-propanoic acid using ester as synthetic intermediate)

RN 445490-32-4 CAPLUS

CN 1H-Indole-1-propanoic acid, 5-[3-(2-pyridinylamino)propoxy]-, ethyl ester (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

14 ANSMER 8 OF 17 CAPLUS COPIRIENT 2008 ACC OF SIN.

Louis-David, Choi, Soongyu, Clark, Roger; Hentemann, Martin, Rudolph,
Louis-David, Choi, Soongyu, Clark, Roger; Hentemann, Martin, Rudolph,
Joachim; Lavoie, Rico; Zhang, Zhonghua (Bayer Pharmaceuticals Corporation,
USA). PCT Int. Appl. NO 2004098498 A2 20041118, 142 pp. DESIGNATED
STATES: N: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MM, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RU, SC, SD, SS, SS, SK, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RM: AT, BE, EF, BJ, CF, CG, CH, CI,
CM, CY, DE, DK, ES, FI, FR, GA, GB, RIE, IT, LU, MC, ML, MR, NE, NL,
PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO
2004-US12959 20040428.

G1

AB Title compds. [I; R1 = H, alkyl, PhCH2; R2, R3 = H, alkyl; Y = O, NR5; R5 = H, alkyl, cycloalkylalkyl; n = 2-4; Ar = (substituted) Ph, heteroaryll, were prepared for the treatment of diseases such as diabetes and metabolic syndrome X (no data). Thus, 1-(2-bromoethoxy)-4-ethyl-2-methoxybenzene (preparation given), Me 2-(5-hydroxyindol-1-yl)propionate (preparation given) and

Cs2CO3 were heated at  $140^\circ$  in DMF for 3 h followed by addition of HC1 to pH 2 to give 8% 2-[5-[2-(4-ethyl-2-methoxyphenoxy)ethoxy]indol-1-yl]propionic acid.

T 796100-26-0P 796100-34-0P 796100-36-2P

796102-24-4P 796102-26-6P 796102-29-9P

796102-31-3P 796102-34-6P 796102-36-8P 796102-38-0P 796102-40-4P 796102-42-6P

796102-46-0P 796102-46-0P 796102-48-2P

796102-50-6P 796102-52-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indoleacetic acids for the treatment of diabetes and related diseases)

RN 796100-26-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-2-(4-methoxyphenyl)-4-pyrimidinyl]methylamino]propoxy]- (CA INDEX NAME)

RN 796100-34-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[2-(4-fluorophenyl)-5-methyl-4-pyrimidinyl]methylamino]propoxy]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \\ & \text{N} & \text{N-} \text{(CH2)} \text{ 3-O} \\ & \text{Me} & \text{CH2-CO2H} \end{array}$$

RN 796100-36-2 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[(2-chloro-5-fluoro-4pyrimidinyl)methylamino]propoxy]-α-methyl-, methyl ester (CA INDEX NAME)

796102-24-4 CAPLUS CN 1H-Indole-1-acetic acid, 5-[3-[[2-(4-ethylphenyl)-5-methyl-4pyrimidinyl]methylamino]propoxy]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N-} (\text{CH}_2)_3 \text{--} \\ \text{O} \\ \text{CH}_2 \text{--} \text{CO}_2 \text{H} \\ \end{array}$$

RN 796102-26-6 CAPLUS

RN

- CN 1H-Indole-1-acetic acid, 5-[3-[[2-(1,3-benzodioxol-5-yl)-5-methyl-4pyrimidinyl]methylamino]propoxy]- (CA INDEX NAME)

- RN 796102-29-9 CAPLUS CN
  - 1H-Indole-1-acetic acid, 5-[3-[[2-(1,3-benzodioxol-5-v1)-4pyrimidinyl]methylamino]propoxy]-α-methyl- (CA INDEX NAME)

- RN 796102-31-3 CAPLUS 1H-Indole-1-acetic acid, 5-[3-[[2-(4-ethylphenyl)-5-fluoro-4-CN
- pyrimidinyl]methylamino]propoxy]- (CA INDEX NAME)
- Ме CH2-CO2H

- RN 796102-34-6 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-[[2-(1,3-benzodioxol-5-yl)-5-fluoro-4pyrimidinyl]methylamino]propoxy]- (CA INDEX NAME)

- RN 796102-36-8 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]methylamino]propoxy]- (CA INDEX NAME)

- RN 796102-38-0 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-[(2-chloro-5-fluoro-4-pyrimidinyl)methylamino]propoxy]- (CA INDEX NAME)

- RN 796102-40-4 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-2-[4-(trifluoromethoxy)phenyl]-4-pyrimidinyl]methylamino]propoxy]- (CA INDEX NAME)

CN 1H-Indole-1-acetic acid,  $5-[3-[[2-(4-\text{ethylphenyl})-5-fluoro-4-pyrimidinyl]amino]propoxy]-<math>\alpha$ -methyl- (CA INDEX NAME)

- RN 796102-44-8 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-2-(4-methoxyphenyl)-4-pyrimidinyl]amino]propoxy]-α-methyl- (CA INDEX NAME)

- RN 796102-46-0 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-2-(4-fluorophenyl)-4-pyrimidinyl]amino]propoxy]-a-methyl- (CA INDEX NAME)

- RN 796102-48-2 CAPLUS
- CN 1H-Indole-1-acetic acid,  $5-[3-[[2-(4-\text{ethylphenyl})-5-\text{fluoro}-4-\text{pyrimidinyl}]\text{methylamino}]\text{propoxy}]-\alpha-\text{methyl}-$  (CA INDEX NAME)

- RN 796102-50-6 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-2-(4-methoxypheny1)-4-pyrimidiny1]methylamino]propoxy]-a-methyl- (CA INDEX NAME)

- RN 796102-52-8 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-2-(4-fluorophenyl)-4-pyrimidinyl]methylamino]propoxy]-α-methyl- (CA INDEX NAME)

- IT 796100-20-4P 796100-22-6P 796100-24-8P 796100-28-2P 796100-30-6P 796100-32-8P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
  - (preparation of indoleacetic acids for the treatment of diabetes and related diseases)
- RN 796100-20-4 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-[(2-chloro-5-fluoro-4-
- pyrimidinyl)methylamino]propoxy]-, methyl ester (CA INDEX NAME)

- RN 796100-22-6 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-[(2-chloro-5-fluoro-4-pyrimidinyl)amino]propoxy]- $\alpha$ -methyl-, methyl ester (CA INDEX NAME)

RN 796100-24-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-2-(4-methoxyphenyl)-4-pyrimidinyl]methylamino]propoxyl-, methyl ester (CA INDEX NAME)

RN 796100-28-2 CAPLUS

CN 4-Pyrimidinamine, 2-chloro-N-[3-(1H-indol-5-yloxy)propyl]-N,5-dimethyl-(CA INDEX NAME)

RN 796100-30-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[(2-chloro-5-methyl-4pyrimidinyl)methylamino]propoxy]-, ethyl ester (CA INDEX NAME)

- 796100-32-8 CAPLUS RN
- CM1H-Indole-1-acetic acid, 5-[3-[[2-(4-fluorophenyl)-5-methyl-4pyrimidinyl]methylamino]propoxy]-, ethyl ester (CA INDEX NAME)

- ANSWER 9 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
- 2004:902348 Document No. 141:379812 Preparation of N-containing heteroaromatic compounds as modulators of PPARs and methods of treating metabolic disorders. Liu, Kevin; Zhao, Cunxiang (Kalvpsvs, Inc., USA). PCT Int. Appl. WO 2004092130 A2 20041028, 124 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2004-US10737 20040407. PRIORITY: US 2003-461574P 20030407; US 2003-461606P 20030407; US 2003-461586P 20030407.

AB Heteroarom. compds. of formula I [Ar = mono- or bicyclic aromatic ring with at least one N; L = bond, CH2; R1, R2 = alkyl, heteroaryl, etc.; R3, R4 = H, alkyl, heteroaryl, etc.; n = 1-3] are prepared as modulators of peroxisome proliferator activated receptors (PPAR). Pharmaceutical

compns. comprising I, and methods of treating disease using I are disclosed. Thus, II was prepared and had EC50 < 1  $\mu M$  against human PPARY.

781659-23-2P 781659-24-3P 781659-25-4P 781659-26-5P 781659-27-6P 781659-28-7P 781659-29-8P 781659-30-1P 781659-31-2P 781659-43-6P 781659-44-7P 781659-45-8P 781659-46-9P 781659-47-0P 781659-48-1P 781659-49-2P 781659-50-5P 781659-51-6P 781659-52-7P 781659-53-8P 781659-54-9P 781659-55-0P 781659-56-1P 781659-57-2P 781659-58-3P 781659-59-4P 781659-60-7P 781659-61-8P 781659-62-9P 781659-63-0P 781659-64-1P 781659-65-2P 781659-66-3P 781659-67-4P 781659-68-5P 781659-69-6P 781659-70-9P 781659-71-0P 781659-72-1P 781659-73-2P 781659-74-3P 781659-75-4P 781659-76-5P 781659-77-6P 781659-78-7P 781659-79-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of N-containing heteroarom. compds. as modulators of PPARs for treating metabolic disorders)

RN 781659-23-2 CAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-[[[2,4-bis(trifluoromethyl)phenyl]methyl](5ethyl-2-pyrimidinyl)aminolyropoxyl- (CA INDEX NAME)

RN 781659-24-3 CAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-[[[2,4-bis(trifluoromethyl)phenyl]methyl](5ethyl-2-pyrimidinyl)amino]propoxyl-1-methyl- (CA INDEX NAME)

- RN 781659-25-4 CAPLUS

- RN 781659-26-5 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-(butyl-2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 781659-27-6 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-(2-benzothiazolylbutylamino)propoxy]- (CA INDEX NAME)

- RN 781659-28-7 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-(2-benzoxazolylbutylamino)propoxy]- (CA INDEX NAME)

- RN 781659-29-8 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[2-benzoxazoly1[[2,4-bis(trifluoromethyl)phenyl]methyl]amino]propoxy]- (CA INDEX NAME)

RN 781659-30-1 CAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-[[[2,4-bis(trifluoromethy1)pheny1]methy1]-2-pyridinylamino]propoxy]- (CA INDEX NAME)

RN 781659-31-2 CAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-[2-benzothiazoly1[[2,4-bis(trifluoromethyl)phenyl]methyl]amino]propoxy]- (CA INDEX NAME)

RN 781659-43-6 CAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-[methyl(5-methyl-2-pyrimidinyl)amino]propoxy]- (CA INDEX NAME)

RN 781659-44-7 CAPLUS

CN 1H-Indole-3-acetic acid, 1-methyl-5-[3-[methyl(5-methyl-2pyrimidinyl)amino]propoxy]- (CA INDEX NAME)

RN 781659-45-8 CAPLUS

RN 781659-46-9 CAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-[ethyl(5-methyl-2-pyrimidinyl)amino]propoxy]-1-methyl- (CA INDEX NAME)

RN 781659-47-0 CAPLUS

Et 
$$\frac{\text{HO}_2\text{C}-\text{CH}_2}{\text{N}}$$
  $\frac{\text{Me}}{\text{N}-\text{(CH}_2)_3-\text{O}}$ 

RN 781659-48-1 CAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)methylamino]propoxy]1-methyl- (CA INDEX NAME)

- RN 781659-49-2 CAPLUS

- RN 781659-50-5 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[ethyl(5-ethyl-2-pyrimidinyl)amino]propoxy]-1-methyl- (CA INDEX NAME)

- RN 781659-51-6 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-methyl-2-pyrimidinyl)(phenylmethyl)amino ]propoxy]- (CA INDEX NAME)

RN 781659-52-7 CAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)(phenylmethyl)amino] propoxyl- (CA INDEX NAME)

Et 
$$N$$
  $CH_2-Ph$   $HO_2C-CH_2$   $N$   $N-(CH_2)_3-0$   $N$ 

RN 781659-53-8 CAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-[[(2-methylphenyl)methyl](5-methyl-2-pyrimidinyl)amino]propoxy]- (CA INDEX NAME)

RN 781659-54-9 CAPLUS

CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)](2-methylphenyl)methyl]amino]propoxy]- (CA INDEX NAME)

- RN 781659-55-0 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[[(4-methylphenyl)methyl](5-methyl-2-pyrimidinyl)amino]propoxy]- (CA INDEX NAME)

- RN 781659-56-1 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)](4-methylphenyl)methyl]amino]propoxy]- (CA INDEX NAME)

- RN 781659-57-2 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[[(2,4-dimethylphenyl)methyl](5-methyl-2-pyrimidinyl)amino]propoxy]- (CA INDEX NAME)

- RN 781659-58-3 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)](4-methoxyphenyl)methyl]amino]propoxy]- (CA INDEX NAME)

- RN 781659-59-4 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-methyl-2-pyrimidinyl)][[4-(trifluoromethyl)phenyl]methyl]amino]propoxy]- (CA INDEX NAME)

- RN 781659-60-7 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)][[4-(trifluoromethyl)phenyl]methyl]amino]propoxy]- (CA INDEX NAME)

- RN 781659-61-8 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-methyl-2-pyrimidinyl)][[2-(trifluoromethyl)phenyl]methyl]amino]propoxy]- (CA INDEX NAME)

- RN 781659-62-9 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)][[2-(trifluoromethyl)phenyl]methyl]amino]propoxy]- (CA INDEX NAME)

- RN 781659-63-0 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[[[2,4-bis(trifluoromethyl)phenyl]methyl](5-methyl-2-pyrimidinyl)amino]propoxy]- (CA INDEX NAME)

- RN 781659-64-1 CAPLUS
- CN 1H-Indole-3-acetic acid, 1-methyl-5-[3-[(5-methyl-2-pyrimidinyl)(phenylmethyl)amino]propoxy]- (CA INDEX NAME)

- RN 781659-65-2 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)(phenylmethyl)amino] propoxy]-1-methyl- (CA INDEX NAME)

- RN 781659-66-3 CAPLUS
- CN 1H-Indole-3-acetic acid, 1-methyl-5-[3-[[(4-methylphenyl)methyl](5-methyl-2-pyrimidinyl)amino]propoxy]- (CA INDEX NAME)

Me 
$$HO_2C-CH_2$$
  $HO_2C-CH_2$   $N$   $N$   $N$   $N$   $N$   $N$ 

- RN 781659-67-4 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)](4-methylphenyl)methyl]amino]propoxy]-1-methyl- (CA INDEX NAME)

- RN 781659-68-5 CAPLUS
- CN 1H-Indole-3-acetic acid, 1-methyl-5-[3-[[(2-methylphenyl)methyl](5-methyl-2-pyrimidinyl)amino]propoxy]- (CA INDEX NAME)

- RN 781659-69-6 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)](3-methylphenyl)methyl]amino]propoxy]-1-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{HO}_2\text{C-CH}_2\\ \text{Me} \\ \text{CH}_2\text{-N-(CH}_2)_{\,3\text{-O}} \\ \text{NN} \\ \text{Ne} \\ \text{Et} \end{array}$$

- RN 781659-70-9 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[[(2,4-dimethylphenyl)methyl](5-methyl-2-pyrimidinyl)amino]propoxy]-1-methyl- (CA INDEX NAME)

- RN 781659-71-0 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[[(2,4-dimethylphenyl)methyl](5-ethyl-2-pyrimidinyl)amino]propoxy]-1-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{HO}_2\text{C-CH}_2 \\ \text{CH}_2-\text{N-(CH}_2)\,3-\text{O} \\ \text{N} & \text{N} \\ \text{Et} \end{array}$$

- RN 781659-72-1 CAPLUS
- CN 1H-Indole-3-acetic acid, 1-methyl-5-[3-[(5-methyl-2-pyrimidinyl)][[4-(trifluoromethyl)phenyl]methyl]amino]propoxy]- (CA INDEX NAME)

- RN 781659-73-2 CAPLUS

- RN 781659-74-3 CAPLUS
- CN 1H-Indole-3-acetic acid, 1-methyl-5-[3-[(5-methyl-2-pyrimidinyl)][[2-(trifluoromethyl)phenyl]methyl]amino]propoxy]- (CA INDEX NAME)

- RN 781659-75-4 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[(5-ethyl-2-pyrimidinyl)][[2-(trifluoromethyl)phenyl]methyl]amino]propoxy]-1-methyl- (CA INDEX NAME)

- RN 781659-76-5 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[[[2,4-bis(trifluoromethyl)phenyl]methyl](5-methyl-2-pyrimidinyl)amino]propoxy]-1-methyl- (CA INDEX NAME)

- RN 781659-77-6 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[[[2,4-bis(trifluoromethyl)phenyl]methyl](5ethyl-2-pyrimidinyl)amino[propoxy]-1-methyl-, methyl ester (CA INDEX NAME)

- RN 781659-78-7 CAPLUS
- CN 1H-Indole-3-acetic acid, 5-[3-[[[2,4-bis(trifluoromethyl)phenyl]methyl](5-ethyl-2-pyrimidinyl)amino]propoxy]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c} \mathsf{CF}_3 \\ \mathsf{CH}_2-\mathsf{N}-\mathsf{(CH}_2)_3-\mathsf{O} \\ \mathsf{N}_1 \\ \mathsf{N}_2 \\ \mathsf{Et} \end{array}$$

- RN 781659-79-8 CAPLUS
- CN 1H-Indole-3-acetic acid, 1-acety1-5-[3-[(5-methy1-2-pyrimidiny1)][[2-(trifluoromethy1)pheny1]methy1]amino]propoxy]- (CA INDEX NAME)

- L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
- 2004:569863 Document No. 141:123559 A preparation of indole derivatives, useful as integrin inhibitors. Wiesner, Matthias; Goodman, Simon; Gottschlich, Rudolf (Germany). U.S. Pat. Appl. Publ. US 20040138284 Al 20040715, 33 pp., Cont.-in-part of U.S. Ser. No. 203,406. (English).

CODEN: USXXCO. APPLICATION: US 2004-750879 20040105. PRIORITY: DE 2000-10006139 20000211; WO 2001-EP84 20010105; US 2002-203406 20020809.

AB The invention relates to a preparation of indole derivs. of formula I (wherein: A and B are independently selected from O, S, NR, NR, C(O), or C(O)NR, etc.; X is (un)substituted alkylene; Rl is H, Cl-6alkyl, or (CH2)0-2-aryl; R2 is H, (cyclo)alkyl, or -C(O)-alkyl; R3 is NH2, -NHC(O)-alkyl, -NHC(O)-aryl, etc.; R4 and R5 are independently selected from H, oxo, (cyclo)alkyl, C(O)NH2, or NH-heterocycle, etc.], useful as integrin inhibitors (no biol. data). Compds. of formula I can be employed for combating thromboses, cardiac infarction, coronary heart diseases, arteriosclerosis, inflammations, tumors, osteoporosis, rheumatic arthritis, macular degenerative disease, and diabetic retinopathy, etc. The invention compds. act as integrin inhibitors, inhibiting, in particular, the interaction of the αν-, β3- and β5-interarin receptors with ligands (no biol. data).

IT 354822-33-6P 354822-40-5P 354822-49-4P

354822-62-1P 354822-69-8P 354822-83-6P

354822-85-8P 354822-86-9P 354822-88-1P 354822-89-2P 354822-90-5P 354822-93-8P

354822-95-0P 354822-97-2P 354823-01-1P

354823-03-3P 354823-06-6P 354823-18-0P 354823-25-9P 354823-28-2P 354823-43-1P

354823-47-5P 354823-49-7P 354823-52-2P

354823-55-5P 724478-49-3P 724478-55-1P

724478-56-2P 724478-60-8P

RN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs., useful as integrin inhibitors) 354822-33-6 CAPLUS

N 1H-Indole-3-propanoic acid, β-phenyl-6-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

- RN 354822-40-5 CAPLUS
- CN 1H-Indole-3-propanoic acid, 6-[3-[(4,5-dihydro-1H-imidazo1-2-yl)amino]propoxy]-β-phenyl- (CA INDEX NAME)

- RN 354822-49-4 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-(3-hydroxyphenyl)-6-[3-[(3,4,5,6-tetrahydro-2-pyridinyl)amino]propoxy]- (CA INDEX NAME)

- RN 354822-62-1 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-(3-chlorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
  - CM 1
  - CRN 354822-46-1
  - CMF C25 H24 C1 N3 O3

- CM
- CRN 76-05-1
- CMF C2 H F3 O2

RN 354822-69-8 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-5-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-36-9 CMF C25 H25 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-83-6 CAPLUS CN 1H-Indole-3-propago

1H-Indole-3-propanoic acid,  $\beta$ -(4-fluorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-41-6 CMF C25 H24 F N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

354822-85-8 CAPLUS RN

CN 1H-Indole-3-propanoic acid,  $\beta$ -[3,5-bis(trifluoromethyl)phenyl]-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-84-7 CMF C27 H23 F6 N3 O3

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 354822-86-9 CAPLUS

CN 1H-Indole-3-propanoic acid, β-(3,5-dichlorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-42-7

CMF C25 H23 C12 N3 O3

CM

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-88-1 CAPLUS

CN 1H-Indole-3-propanoic acid, β-(2,4-dichlorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-87-0

CMF C25 H23 C12 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-89-2 CAPLUS CN 1H-Indole-3-propano

lH-Indole-3-propanoic acid,  $\beta$ -[4-chloro-3-(trifluoromethy1)pheny1]-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-43-8 CMF C26 H23 C1 F3 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-90-5 CAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -cyclohexyl-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-44-9

CMF C25 H31 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-93-8 CAPLUS

CN 1H-Indole-3-propanoic acid, β-(2,6-difluorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 354822-92-7

CMF C25 H23 F2 N3 O3

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 354822-95-0 CAPLUS

CN 1H-Indole-3-propanoic acid, β-(2-chloro-3,6-difluorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM :

CRN 354822-94-9 CMF C25 H22 C1 F2 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-97-2 CAPLUS

NN 334022-9-2 CAPADOS

CN 1H-Indole-3-propanoic acid, 6-[3-(2-pyridinylamino)propoxy]-β-(2,4,6-trifluorophenyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 354822-96-1

CMF C25 H22 F3 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-01-1 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-(2-pyridinylamino)propoxy]-β-[4-(trifluoromethoxy)phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354823-00-0 CMF C26 H24 F3 N3 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-03-3 CAPLUS

1

CN 1H-Indole-3-propanoic acid, 6-[3-(2-pyridinylamino)propoxy]-β-[3-(trifluoromethoxy)phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 354823-02-2

CMF C26 H24 F3 N3 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-06-6 CAPLUS

CN 2,1,3-Benzothiadiazole-5-propanoic acid,  $\beta$ -[6-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propoxy]-1H-indol-3-yl]- (CA INDEX NAME)

RN 354823-18-0 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-[(4,5-dihydro-5-oxo-1H-imidazol-2-yl)amino]propoxy]-β-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354823-17-9

CMF C23 H24 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

- 354823-25-9 CAPLUS RN
- CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-[(1,4,5,6-tetrahydro-2pyrimidinyl)amino[propoxy]- (CA INDEX NAME)

- RN 354823-28-2 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-[(3,4,5,6-tetrahydro-2pyridinyl)amino[propoxy]- (CA INDEX NAME)

CN 1H-Indole-3-propanoic acid, 6-[3-[[3-(acetylamino)-2pyridinyl]amino|propoxyl-β-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354823-42-0 CMF C27 H28 N4 O4

NHAC CH-CH<sub>2</sub>)<sub>3</sub>-0 CH-CH<sub>2</sub>-CO<sub>2</sub>H

CM :

CRN 76-05-1 CMF C2 H F3 O2

- RN 354823-47-5 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-(2-pyridinylamino)propoxy]-, (βS)- (CA INDEX NAME)

## Absolute stereochemistry.

- RN 354823-49-7 CAPLUS
- CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-(2-pyridinylamino)propoxy]-, hydrochloride (1:1), ( $\beta$ S)- (CA INDEX NAME)

Absolute stereochemistry.

# • HCl

RN 354823-52-2 CAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-(2-pyridinylamino)propoxy]-, ( $\beta$ S)-, methanesulfonate (1:1) (CA INDEX NAME)

CM :

CRN 354823-47-5 CMF C25 H25 N3 O3

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

о но-s-сн<sub>3</sub>

RN 354823-55-5 CAPLUS

N 1H-Indole-3-propanoic acid, 6-[3-(1H-imidazol-2-ylamino)propoxy]-βphenyl- (CA INDEX NAME)

RN 724478-49-3 CAPLUS

CN 1H-Indole-3-propanoic acid, β-4-pyridiny1-6-[3-(2pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-45-0 CMF C24 H24 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 724478-55-1 CAPLUS

CN 2,1,3-Benzothiadiazole-5-propanoic acid,  $\beta$ -[6-[3-(2pyridinylamino)propoxy]-1H-indol-3-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-48-3

CMF C25 H23 N5 O3 S

CM

CRN 76-05-1 CMF C2 H F3 O2

724478-56-2 CAPLUS CN

1H-Indole-3-propanoic acid,  $\beta$ -[4-(methoxycarbony1)pheny1]-6-[3-(2pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 354822-50-7

CMF C27 H27 N3 O5

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 724478-60-8 CAPLUS

CN lH-Indole-3-propanoic acid, β-1,5-cyclohexadien-1-yl-6-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 724478-59-5 CMF C23 H28 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 354822-36-9 354822-55-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of indole derivs., useful as integrin inhibitors) RN 354822-36-9 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-5-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

RN 354822-55-2 CAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-[2-pyridinyl][(2,2,2-trichloroethoxy)carbonyl]amino]propoxy]-, ethyl ester (CA INDEX NAME)

- IT 354822-56-3P 354822-58-5P 354822-60-9P 354822-98-3P 354823-01-4P 354823-19-1P 354823-21-5P 354823-21-5P 354823-21-5P 354823-21-5P 354823-26-0P 354823-38-4P 724478-51-7P 724478-52-8P 724478-54-0P 724478-57-3P 724478-58-4P 724478-62-0P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation of indole derivs., useful as integrin inhibitors)
- RN 354822-56-3 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-(2-pyridinylamino)propoxy]-, ethyl ester (CA INDEX NAME)

- RN 354822-58-5 CAPLUS
- CN 1H-Indole-3-propanoic acid, 6-[3-[1H-imidazol-2-yl[(2,2,2-trichloroethoxy]carbonyl]amino]propoxy]-β-phenyl-, ethyl ester (CA INDEX NAME)

- RN 354822-60-9 CAPLUS
- CN 1H-Indole-3-propanoic acid, 6-[3-(1H-imidazol-2-ylamino)propoxy]-β-

phenyl-, ethyl ester (CA INDEX NAME)

RN 354822-98-3 CAPLUS

CN 2-Pyridinamine, N-[3-(1H-indol-6-yloxy)propyl]- (CA INDEX NAME)

RN 354823-04-4 CAPLUS

CN 1H-Imidazol-2-amine, 4,5-dihydro-N-[3-(1H-indol-6-yloxy)propyl]- (CA INDEX NAME)

RN 354823-19-1 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-(2-pyrimidinylamino)propoxy]-, ethyl ester (CA INDEX NAME)

RN 354823-21-5 CAPLUS

CN 1H-Indole-3-propanoic acid, β-pheny1-6-[3-(2-pyrimidinylamino)propoxy]- (CA INDEX NAME)

RN 354823-23-7 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propoxy]-, ethyl ester (CA INDEX NAME)

RN 354823-26-0 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-[(3,4,5,6-tetrahydro-2-pyridinyl)amino]propoxy]-, ethyl ester (CA INDEX NAME)

RN 354823-38-4 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-[(3-nitro-2-pyridinyl)amino]propoxy]β-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354823-37-3

CMF C25 H24 N4 O5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 724478-51-7 CAPLUS

CN Pyridinium, 2-[[3-(1H-indol-6-yloxy)propyl]amino]-1-(phenylmethyl)-, bromide (1:1) (CA INDEX NAME)

• Br-

RN 724478-52-8 CAPLUS CN Pyridinium, 2-[[3-[

Pyridinium, 2-[[3-[[3-[2-(2,2-dimethyl-4,6-dioxo-1,3-dioxan-5-yl)-1-(4fluorophenyl)ethyl]-1H-indol-6-yl)exy[propyl]amino]-1-(phenylmethyl)-, bromide (1:1) (CA INDEX NAME)

• Br-

RN 724478-54-0 CAPLUS

CN Pyridinium, 2=[[3-[[3-[2-carboxy-1-(4-fluorophenyl)ethyl]-1H-indol-6-yl]oxy]propyl]amino]-1-(phenylmethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM :

CRN 724478-53-9

CMF C32 H31 F N3 O3

CM 2

CRN 14477-72-6

CMF C2 F3 O2

RN 724478-57-3 CAPLUS

CN 1,3-Dioxane-4,6-dione, 2,2-dimethyl-5-[2-[6-[3-(2-pyridinylamino)propoxy]-lH-indol-3-yl]-2-[4-(trifluoromethoxy)phenyl]ethyl]- (CA INDEX NAME)

RN 724478-58-4 CAPLUS

CN 1,3-Dioxane-4,6-dione, 5-[2-(2,1,3-benzothiadiazol-5-yl)-2-[6-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propoxy]-1H-indol-3-yl]ethyl]-2,2-dimethyl-(CA INDEX NAME)

RN 724478-62-0 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-[(3-amino-2-pyridiny1)amino]propoxy]β-pheny1-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354823-39-5 CMF C25 H26 N4 O3

CM :

CRN 76-05-1 CMF C2 H F3 O2

L4 ANSMER 11 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN 2004:269873 Document No. 140:297473 Methods for inhibition of angiogenesis using awp3 integrin antagonists. Brooks, Peter C.; Cheresh, David A. (The Scripps Research Institute, USA). U.S. Pat. Appl. Publ. US 20040063790 Al 20040401, 88 pp., Cont.-in-part of U.S. Pat. Appl. 2003

20040063790 Al 20040401, 88 pp., Cont.-in-part of U.S. Pat. Äppl. 2003 176,334. (English). CODEN: USXXCO. APPLICATION: US 2003-402212 20030328. PRIORITY: US 1996-18773P 19960531; US 1996-15869P 19960531; US 1997-US918 19970533; US 1999-194488 19990323; US 2002-115223 20022042.

AB The invention describes methods for inhibition angiogenesis in tissues using organic peptidomimetic  $\alpha\nu\beta$ 3 antagonists, and particularly for inhibiting angiogenesis in inflamed tissues and in tumor tissues and metastases using therapeutic compns. containing  $\alpha\nu\beta$ 3 antagonists. The antagonists are organic compds. having a basic group and an acidic group spaced from one another by a distance in the range of about 10 Angstroms to about 100 Angstroms, as described in detail herein.

IT 354822-33-6 354823-47-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods for inhibition of angiogenesis using  $\alpha v \beta 3$  integrin antagonists)

RN 354822-33-6 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

RN 354823-47-5 CAPLUS

N 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-(2-pyridinylamino)propoxy]-, ( $\beta$ S)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

2003:656600 Document No. 139:191476 ανβ3 and/or ανβ5

integrin receptor antagonist for the treatment of eye diseases. Bender, Hans-Markus; Lang, Ulrich; Wiesner, Matthias; Friedlander, Martin (Merck Patent G.m.b.H., Germany). PCT Int. Appl. MO 2003068253 Al 20030821, 63 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MG, MG, MK, MM, MM, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, IJ, TM, TN, TR, TT, TZ, DA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, MI, MR, NE, NI, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-EP1369

GI

$$\begin{array}{c} \text{NH} \\ \text{H2N-C-NH-CH2} \\ \text{CH2} \\ \end{array} \begin{array}{c} \text{CH2} \\ \text{SO2} \\ \end{array}$$

- AB Method and compns. for prophylaxis and/or treatment of diseases of the eye using antagonists of the integrin receptors  $\alpha\nu\beta3$  and/or  $\alpha\nu\beta5$  are described. The compns. can be nanoparticles and are administered to the eye by injection into the subTenon's space of the eye. An example compound is I.
- IT 354822-33-6 354822-36-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) ( $\alpha\nu\beta3$  and/or  $\alpha\nu\beta5$  integrin receptor antagonist for the treatment of eye diseases)

- RN 354822-33-6 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

- RN 354822-36-9 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-phenyl-5-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

- L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
- 2003:133028 Document No. 138:180760 Integrin inhibitors for the treatment of eye diseases. Bender, Hans Markus; Haunschild, Jutta; Lang, Ulrich; Miesner, Matthias; Friedlander, Martin (Merck Patent GmbH, Germany). PCT Int. Appl. W0 2003013511 al 20030220, 64 pp. DBSIGNATED STATES: M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GB, GB, GH, GH, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MM, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VM, YU, ZA, ZM, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RM: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: W0 2002-EP7377 20020703. PRIORITY: US 2001-308851P 20010801.
- AB Methods and compns. for prophylaxis and/or treatment of diseases of the eye using antagonists of the integrin receptors  $\alpha\nu\beta$ 3 and/or  $\alpha\nu\beta$ 5 are disclosed. The compns. can be nanoparticles and are administered to the eye by injection into the vitreous body of the eye. IT 354822-33-6 35482-36-9
- Ri: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (integrin inhibitors for treatment of eye diseases)
- RN 354822-33-6 CAPLUS
- CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

- RN 354822-36-9 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-phenyl-5-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

- L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN
- 2002:594672 Document No. 137:154848 Preparation of indoles and their use as  $\alpha\nu\beta3$  and  $\alpha\nu\beta5$  integrin antagonists. Lu, Tianbao;

Lafrance, Louis Vincent; Markotan, Thomas P.; Marugan, Juan Jose; Marder, Victor J.; U'Prichard, David C.; Anaclerio, Beth M.; Guo, Zihonog; Pan, Wenxi; Leonard, Kristi A. (3-Dimensional Pharmaceuticals, Inc., USA). PCT Int. Appl. NO 200206038 Al 20020808, 228 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, RR, HU, ID, IL, IN, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, ND, ND, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, JJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, BN, CM, CS, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-US2366 20020129; PRIORITY: US 2001-24450P 20010129; US 2001-324519 20010925

- GI
- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Title compds. I [R1-R5 = H, halo, alkyl, etc.; R6-R9 = H, alkyl, hydroxyalkyl, etc.; R10-R13 = H, OR, alkyl, etc.; R14 = H, or a functionality that acts as a prodrug; X = O, S, CH2, etc.; a, k, v = O, 1; i, j, m, n = O-41, their pharmaceutically acceptable salts, prodrugs and formulations were prepared for example, hydrogenation of acrylic ester II, prepared from 7-[2-I1-(2-Methoxycarbonyl-I-(pyridin-3-yl)vinyl)-IH-indol-5-yloxylethyl]-3, 4-dihydro-2H-[1,8] naphthyridin-1-carboxylic acid tert-Bu ester and pyridin-3-ylpropynoic acid Me ester, followed by BOC deprotection, and ester hydrolysis provided claimed indole III. Indole

III inhibited human  $\alpha\nu\beta3$ -vitronectin interaction at an IC50 of 0.24 nM, studies for an addnl. 6 examples are provided, ranging in values from 670 to 0.24 nM. Compds. I may be used in treatment of pathol. conditions mediated by  $\alpha\nu\beta3$  and  $\alpha\nu\beta5$  integrins, including such conditions as tumor growth, inflammation, rheumatoid

arthritis, etc..

IT 445489-73-6P, 3-[5-[3-(2-Pyridylamino)propoxy]indolyl]propanoic acid ammonium salt

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(drug candidate; preparation of indoles and their use as  $\alpha v \beta 3$  and  $\alpha v \beta 5$  integrin antagonists)

RN 445489-73-6 CAPLUS

CN 1H-Indole-1-propanoic acid, 5-[3-(2-pyridinylamino)propoxy]-, ammonium salt (1:1) (CA INDEX NAME)

NH3

402933-31-7P, 2-[5-[3-(2-Pyridylamino)propoxy]indolyl]acetic acid 445489-74-7P 445489-75-8P, 3-[2-Methyl-5-[3-(2pyridylamino)propoxy]indolyl]propanoic acid sodium salt 445489-76-9P, 2-[trans-2-[5-[3-(2-Pyridylamino)propoxy]indolyl]cyc lopropyl]acetic acid 445489-78-1P, 2-Benzyl-3-[5-[3-(2pyridylamino)propoxylindolyllpropanoic acid 445489-79-2P 445489-80-5P, 2-[[5-[3-(2-Pvridvlamino)propoxylindolvl]methvl]pent anoic acid 445489-81-6P, 2-[[5-[3-(2-Pyridylamino)propoxy]indolyl]methyl]octanoic acid 445490-29-9P, 3-[5-[3-(2-Pyridylamino)propoxy]indolyl]propanoic acid 445490-30-2P, 3-[2-Methyl-5-[3-(2-pyridylamino)propoxy]indolyl]pro panoic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of indoles and their use as  $\alpha v \beta 3$  and

αγβ5 integrin antagonists)
402933-31-7 CAPLUS
1H-Indole-1-acetic acid, 5-[3-(2-pvridinvlamino)propoxy]- (CA INDEX NAME)

RN

- RN 445489-74-7 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-(2-pyridinylamino)propoxy]-, ammonium salt (1:1) (CA INDEX NAME)

● NH3

- RN 445489-75-8 CAPLUS
- CN 1H-Indole-1-propanoic acid, 2-methyl-5-[3-(2-pyridinylamino)propoxy]-, sodium salt (1:1) (CA INDEX NAME)

Na

- RN 445489-76-9 CAPLUS
- CN Cyclopropaneacetic acid, 2-[5-[3-(2-pyridinylamino)propoxy]-1H-indol-1-y1]-, (1R,2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 445489-78-1 CAPLUS
- CN 1H-Indole-1-propanoic acid, α-(phenylmethyl)-5-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 445489-79-2 CAPLUS
- CN 1H-Indole-1-propanoic acid,  $\alpha$ -methyl-5-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 445489-80-5 CAPLUS
- CN 1H-Indole-1-propanoic acid,  $\alpha$ -propyl-5-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

- RN 445489-81-6 CAPLUS
- CN 1H-Indole-1-propanoic acid,  $\alpha$ -hexyl-5-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 445490-29-9 CAPLUS
- CN 1H-Indole-1-propanoic acid, 5-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 445490-30-2 CAPLUS
- CN 1H-Indole-1-propanoic acid, 2-methyl-5-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- II 445490-32-4P, Ethyl 3-(5-(3-(2-pyridylamino)propoxy]indolyl]propan oate 445490-35-7P, Methyl 2-(5-[3-(2-pyridylamino)propoxy]indolyl]acetate 445490-39-1P, Methyl 3-(2-methyl-5-(3-(2-pyridylamino)propoxy]indolyl]propanoate 445490-42-6P, Ethyl 2-[5-(3-(2-pyridylamino)propoxy]indolyl]cyclop ropanecarboxylate 445490-51-7P, Methyl 2-methyl-3-[5-(3-(2-pyridylamino)propoxy]indolyl]propanoate 445490-54-0P, Methyl 2-[(5-(3-(2-pyridylamino)propoxy]indolyl]propanoate 445490-57-3P, Methyl 2-[(5-(3-(2-pyridylamino)propoxy]indolyl]methyl]petholyl]methyl]octanoate
  RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT
  - (Reactant or reagent) (intermediate; preparation of indoles and their use as  $\alpha v \beta 3$  and
    - (intermediate; preparation of indoles and their use as ανβ3 and ανβ5 integrin antagonists)
- RN 445490-32-4 CAPLUS
- CN 1H-Indole-1-propanoic acid, 5-[3-(2-pyridinylamino)propoxy]-, ethyl ester (CA INDEX NAME)

- RN 445490-35-7 CAPLUS
- CN 1H-Indole-1-acetic acid, 5-[3-(2-pyridinylamino)propoxy]-, methyl ester (CA INDEX NAME)

RN 445490-39-1 CAPLUS

CN 1H-Indole-1-propanoic acid, 2-methyl-5-[3-(2-pyridinylamino)propoxy]-, methyl ester (CA INDEX NAME)

RN 445490-42-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[5-[3-(2-pyridinylamino)propoxy]-1H-indol-1yl]-, ethyl ester (CA INDEX NAME)

RN 445490-51-7 CAPLUS

CN 1H-Indole-1-propanoic acid, α-methyl-5-[3-(2-pyridinylamino)propoxy]-, methyl ester (CA INDEX NAME)

RN 445490-54-0 CAPLUS

CN 1H-Indole-1-propanoic acid, α-propyl-5-[3-(2-pyridinylamino)propoxy]-, methyl ester (CA INDEX NAME)

RN 445490-57-3 CAPLUS

CN 1H-Indole-1-propanoic acid, α-hexyl-5-[3-(2-pyridinylamino)propoxy]-, methyl ester (CA INDEX NAME)

ANSWER 15 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN 2002:293620 Document No. 136:309846 Preparation of substituted indoles as PPAR-γ binding agents. Stolle, Andreas; Dumas, Jacques P.; Carley, William; Coish, Phillip D. G.; Magnuson, Steven R.; Wang, Yamin; Nagarathnam, Dhanapalan; Lowe, Derek B.; Su, Ning; Bullock, William H.; Campbell, Ann-Marie; Qi, Ning; Baryza, Jeremy L.; Cook, James H. (Bayer Corporation, USA). PCT Int. Appl. WO 2002030895 A1 20020418, 233 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US42644 20011009. PRIORITY: US 2000-239195P 20001010; US 2000-243665P 20001027.

GT

AB The title compds. [I; Rl = R8R9; R8 = alkyl, alkenyl, alkynyl, etc.; R9 = (un)substituted Ph, cycloalkyl, heterocycloalkyl, etc.; X = (un)substituted NH, S, O; R2 = H, alkyl, halo, alkyl, etc.; R3 = R12R13;

R12 = alkyl, alkenyl, alkynyl, CO; R13 = (un)substituted cycloalkyl, cycloalkenyl, heterocycloalkyl, etc.; R4-R7 = H, OH, etc.], useful in treating or preventing PPAR- $\gamma$  mediated diseases or conditions, such as osteopenia, osteoporosis, cancer, diabetes and atherosclerosis, were prepared Thus, hydrolysis of Et 3-(cyclopropylidenemethyl)-1-[3-(trifluoromethyl)benzyl]-1H-indole-2-carboxylate (preparation given) with NaOH in H2O/THF afforded 57% I [R1 = 3-F3CC6H4CH2; X = 0; R2 = H; R3 = cyclopropylidenemethyl; R4-R7 = H1 which showed IC50 of 100 pM and 9.99 nM against PPAR-v binding.

412004-73-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted indoles as PPAR-γ binding agents)

412004-73-0 CAPLUS RN

CN 1H-Indole-2-carboxylic acid, 5-[3-(2-benzoxazolylmethylamino)propoxy]-3-(4methoxyphenyl)-1-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

412007-19-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of substituted indoles as PPAR-y binding agents)

412007-19-3 CAPLUS RN

CN 1H-Indole-2-carboxylic acid, 5-[3-(2-benzoxazolylmethylamino)propoxy]-3-(4methoxyphenyl)-1-[[3-(trifluoromethyl)phenyl]methyl]-, ethyl ester (CA INDEX NAME)

L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN 2002:171893 Document No. 136:232323 Compounds containing a pyridinylaminopropoxybicyclic ring system useful as ανβ3 antagonists. Ish, Kumar Khanna; Yi, Yu; Balekudru, Devadas; Hwang-Fun, Lu; Nizal, S. Chandrakumar (Pharmacia Corporation, USA). PCT Int. Appl. WO 200201837 Al 20020307, 125 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VM, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RWi AT, BE, BF, BJ, CP, CG, CH, CT, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXOL APPLICATION: MO 2001-0526889 20010829.

N NH (CH<sub>2</sub>)<sub>3</sub> O O NCH<sub>2</sub>CO<sub>2</sub>H

GI

PRIORITY: US 2000-2000/PV228693 20000829.

- AB Title compds. were prepared for use as selective inhibitors or antagonists of the ανβ3 and/or ανβ5 integrin. Thus, the benzoxazepine I was prepared by treating 4-benzyloxysalicylaldehyde with BrCMe2CO2CH2Ph and H2NCH2CO2CMe3, debenzylating, cyclizing, reaction with 2-(3-hydroxypropylamino)pyridine 1-oxide, reduction of the N-oxide, and ester hydrolysis. The compds. showed activity in several vitronectin receptor assays.
- IT 402933-31-7P 402933-32-8P
  RI: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
  (compds. containing a pyridinylaminopropoxybicyclic ring system useful as

(Compass. Containing a pyridinylaminopropoxybleycile fing system useful as ανβ3 antagonists)
RN 402933-31-7 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

RN 402933-32-8 CAPLUS
CN 1H-Indole-1-acetic acid, 5-[3-(2-pyridinylamino)propoxy]-,
2,2,2-trifluoroacetate (4:5) (CA INDEX NAME)

CM 1

CRN 402933-31-7 CMF C18 H19 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2008 ACS on STN

2001:597980 Document No. 135:180700 Preparation of Indol-3-ylpropionates as integrin inhibitors.. Goodman, Simon; Gottschlich, Rudolf; Wiesner, Matthias (Merck Patent G.m.b.H., Germany). PCI Int. Appl. WO 2001058893 A2 20010816, 87 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, MM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LL, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (German). CODEN: PIXXD2. APPLICATION: WO 2001-EP84 20010165. PRIORITY: DE 2000-1006139 20000211.

AB Title compds. [I; A, B = O, S, NH, NR7, CO, CONH, bond; X = (substituted) alkylene; R1 = H, Z, (CH2)oAr; R2 = H, R7, COZ; R3 = NHR6, NR6C(:NR6)NHR6, Het; R4, R5 = H, O, R7, (CH2) OAr, OAr, etc.; R6 = H, COR7, COAr, R7, CO2R7, SO2R7, etc.; R7 = alkvl, cvcloalkvl; Z = alkvl; Ar = (substituted) arvl; Het = (unsatd.) (substituted) mono- or bicyclic N-heterocyclyl; m = 0-6; n, o = 0-2], were prepared as integrin inhibitors useful for combating thrombosis, myocardial infarcts, coronary heart disease, arteriosclerosis, inflammation, tumors, osteoporosis, rheumatic arthritis, macular degenerative diseases, diabetic retinopathy, infections, restenosis after angioplasty, and pathol. conditions which are maintained or propagated by angiogenesis (no data). Thus, 6-benzyloxyindole, PhCHO, Meldrum's acid, and L-proline were stirred 3 h in MeCN to give 5-[phenyl-(6-0-benzylindol-3-y1)methy1]-2,2-dimethy1-1,3-dioxane-4,6-dione. The latter was refluxed with Cu powder in pyridine/EtOH to give Et 3-phenyl-3-(6-0-benzylindol-3yl)propionate, which was hydrogenated in EtOH over Pd/C to give Et 3-phenyl-3-(6-hydroxyindol-3-yl)propionate. This was converted to 3-phenyl-3-[6-[3-(pyridin-2-ylamino)propoxy]indol-3-yl]propionic acid in several steps.

354822-33-6P 354822-36-9P 354822-40-5P 354822-41-6P 354822-42-7P 354822-43-8P 354822-44-9P 354822-45-0P 354822-46-1P 354822-48-3P 354822-49-4P 354822-50-7P 354822-62-1P 354822-63-2P 354822-69-8P 354822-83-6P 354822-85-8P 354822-86-9P 354822-88-1P 354822-89-2P 354822-90-5P 354822-91-6P 354822-93-8P 354822-95-0P 354822-97-2P 354823-01-1P 354823-03-3P 354823-07-7P 354823-08-8P 354823-18-0P 354823-21-5P 354823-25-9P 354823-28-2P 354823-47-5P 354823-49-7P 354823-52-2P 354823-56-6P 354823-71-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of indolvlpropionates as integrin inhibitors)

RN 354822-33-6 CAPLUS CN

1H-Indole-3-propanoic acid, B-phenyl-6-[3-(2-pyridinylamino)propoxyl-(CA INDEX NAME)

- RN 354822-36-9 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-phenyl-5-[3-(2-pyridinylamino)propoxy]-(CA INDEX NAME)

- RN 354822-40-5 CAPLUS
- CN 1H-Indole-3-propanoic acid, 6-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propoxy]-β-phenyl- (CA INDEX NAME)

- RN 354822-41-6 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-(4-fluorophenyl)-6-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 354822-42-7 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-(3,5-dichlorophenyl)-6-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 354822-43-8 CAPLUS
- CN 1H-Indole-3-propanoic acid,  $\beta$ -[4-chloro-3-(trifluoromethy1)pheny1]-6-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 354822-44-9 CAPLUS
- CN 1H-Indole-3-propanoic acid,  $\beta$ -cyclohexyl-6-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 354822-45-0 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-4-pyridinyl-6-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 354822-46-1 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-(3-chloropheny1)-6-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

- RN 354822-48-3 CAPLUS
- CN 2,1,3-Benzothiadiazole-5-propanoic acid, β-[6-[3-(2-pyridinylamino)propoxy]-1H-indol-3-yl]- (CA INDEX NAME)

- RN 354822-49-4 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-(3-hydroxyphenyl)-6-[3-[(3,4,5,6-tetrahydro-2-pyridinyl)amino]propoxyl- (CA INDEX NAME)

- RN 354822-50-7 CAPLUS
- CN 1H-Indole-3-propanoic acid, β-[4-(methoxycarbony1)pheny1]-6-[3-(2-pyridinylamino)propoxy]- (CA INDEX NAME)

RN 354822-62-1 CAPLUS

CN 1H-Indole-3-propanoic acid, β-(3-chlorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 354822-46-1 CMF C25 H24 C1 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 354822-63-2 CAPLUS

1H-Indole-3-propanoic acid, β-4-pyridinyl-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 354822-45-0 CMF C24 H24 N4 O3

CRN 354822-41-6 CMF C25 H24 F N3 O3

```
CM 2
    CRN 76-05-1
    CMF C2 H F3 O2
F-C-CO2H
    354822-69-8 CAPLUS
RN
CN
    1H-Indole-3-propanoic acid, β-phenyl-5-[3-(2-pyridinylamino)propoxy]-
     , 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
    CM
        1
    CRN 354822-36-9
    CMF C25 H25 N3 O3
                           Ph
                            CH-CH2-CO2H
       NH- (CH2)3-0
                              NH
    CM 2
    CRN 76-05-1
     CMF C2 H F3 O2
F-C-CO2H
  Ė
    354822-83-6 CAPLUS
RN
    1H-Indole-3-propanoic acid, β-(4-fluorophenyl)-6-[3-(2-
CN
    pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
    CM
         1
```

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 354822-85-8 CAPLUS

1H-Indole-3-propanoic acid, β-[3,5-bis(trifluoromethyl)phenyl]-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-84-7 CMF C27 H23 F6 N3 O3

CM :

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 354822-86-9 CAPLUS

1H-Indole-3-propanoic acid, β-(3,5-dichlorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-42-7 CMF C25 H23 C12 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 354822-88-1 CAPLUS

1H-Indole-3-propanoic acid, β-(2,4-dichlorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-87-0 CMF C25 H23 C12 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-89-2 CAPLUS CN 1H-Indole-3-propanoi

lH-Indole-3-propanoic acid,  $\beta$ -[4-chloro-3-(trifluoromethyl)phenyl]-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-43-8

CMF C26 H23 C1 F3 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-90-5 CAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -cyclohexyl-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 354822-44-9 CMF C25 H31 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-91-6 CAPLUS

CN 2,1,3-Benzothiadiazole-5-propanoic acid,  $\beta$ -[6-[3-(2-pyriddinylamino)propoxy]-1H-indol-3-yl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 354822-48-3 CMF C25 H23 N5 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-93-8 CAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -(2,6-difluorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 354822-92-7

CMF C25 H23 F2 N3 O3

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 354822-95-0 CAPLUS

CN 1H-Indole-3-propanoic acid, β-(2-chloro-3,6-difluorophenyl)-6-[3-(2-pyridinylamino)propoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-94-9

CMF C25 H22 C1 F2 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-97-2 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-(2-pyridinylamino)propoxy]-β-(2,4,6-trifluorophenyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-96-1

CMF C25 H22 F3 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-01-1 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-(2-pyridinylamino)propoxy]-β-[4-(trifluoromethoxy)phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354823-00-0 CMF C26 H24 F3 N3 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 354823-03-3 CAPLUS

1H-Indole-3-propanoic acid,  $6-[3-(2-pyridinylamino)propoxy]-\beta-[3-(trifluoromethoxy)phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)$ 

CM 1

CRN 354823-02-2 CMF C26 H24 F3 N3 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-07-7 CAPLUS

CN 2,1,3-Benzothiadiazole-5-propanoic acid, β-[6-[3-[(4,5-dihydro-1H-inidazol-2-y1)amino]propoxy]-lH-indol-3-y1]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 354823-06-6 CMF C23 H24 N6 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-08-8 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-[(4,5-dihydro-1H-imidazol-2-y1)amino]propoxy]-β-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354822-40-5

CMF C23 H26 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-18-0 CAPLUS

CM 1

CRN 354823-17-9 CMF C23 H24 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-21-5 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-(2-pyrimidinylamino)propoxyl- (CA INDEX NAME)

RN 354823-25-9 CAPLUS

CN lH-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propoxy]- (CA INDEX NAME)

RN 354823-28-2 CAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-[(3,4,5,6-tetrahydro-2-pyridinyl)amino]propoxy]- (CA INDEX NAME)

RN 354823-47-5 CAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-(2-pyridinylamino)propoxy]-, ( $\beta$ S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 354823-49-7 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-(2-pyridinylamino)propoxy]-, hydrochloride (1:1), (βS)- (CA INDEX NAME)

Absolute stereochemistry.

HC1

RN 354823-52-2 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-(2-pyridinylamino)propoxy]-, (βS)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 354823-47-5

CMF C25 H25 N3 O3

Absolute stereochemistry.

CM

CM 2

CMF C2 H F3 O2

CM 1

CMF C25 H25 N3 O3

CM 2 CRN 76-05-1 CMF C2 H F3 O2

IT 354823-46-4P
 RI: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of indolylpropionates as integrin inhibitors)

RN 354823-46-4 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-(2-pyridinylamino)propoxy]-, ethyl ester, (βS)- (CA INDEX NAME)

Absolute stereochemistry.

- IT 354822-55-2P 354822-57-4P 354822-99-6P 354822-61-0P 354822-61-0P 354822-99-3P 354822-99-4P 354823-04-4P 354823-05-5P 354823-20-4P 354823-23-7P 354823-26-0P 354823-38-4P 354823-40-8P 354823-43-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of indolylpropionates as integrin inhibitors)
- RN 354822-55-2 CAPLUS
- CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-[2-pyridinyl[(2,2,2-1)]]

trichloroethoxy)carbonyl]amino]propoxy]-, ethyl ester (CA INDEX NAME)

RN 354822-57-4 CAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-(2-pyridinylamino)propoxy]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM

CRN 354822-56-3

CMF C27 H29 N3 O3

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 354822-59-6 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-[1H-imidazol-2-y1[(2,2,2-trichloroethoxy)carbonyl]amino]propoxy]-β-phenyl-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 354822-58-5 CMF C28 H29 C13 N4 O5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-61-0 CAPLUS

CN 1H-Indole-3-propanoic acid,  $6-[3-(1H-imidazol-2-ylamino)propoxy]-\beta-phenyl-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)$ 

CM 1

CRN 354822-60-9

CMF C25 H28 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354822-98-3 CAPLUS

CN 2-Pyridinamine, N-[3-(1H-indol-6-yloxy)propyl]- (CA INDEX NAME)

RN 354822-99-4 CAPLUS

CN 1,3-Dioxane-4,6-dione, 2,2-dimethyl-5-[[6-[3-(2-pyridinylamino)propoxy]-1H-indol-3-yl][4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

RN 354823-04-4 CAPLUS

CN 1H-Imidazol-2-amine, 4,5-dihydro-N-[3-(1H-indol-6-yloxy)propyl]- (CA INDEX NAME)

RN 354823-05-5 CAPLUS

CN 1,3-Dioxane-4,6-dione, 5-[2,1,3-benzothiadiazol-5-y1[6-[3-[(4,5-dihydro-lH-imidazol-2-y1)amino]propoxyl-lH-indol-3-y1]methyl]-2,2-dimethyl- (CA INDEX NAME)

RN 354823-20-4 CAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-(2-pyrimidinylamino)propoxy]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 354823-19-1 CMF C26 H28 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-23-7 CAPLUS

CN 1H-Indole-3-propanoic acid,  $\beta$ -phenyl-6-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propoxy]-, ethyl ester (CA INDEX NAME)

RN 354823-26-0 CAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-6-[3-[(3,4,5,6-tetrahydro-2-pyridinyl)amino]propoxy]-, ethyl ester (CA INDEX NAME)

RN 354823-38-4 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-[(3-nitro-2-pyridinyl)amino]propoxy]β-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354823-37-3 CMF C25 H24 N4 O5

CM :

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-40-8 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-[(3-amino-2-pyridinyl)amino]propoxy]β-phenyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 354823-39-5 CMF C25 H26 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 354823-43-1 CAPLUS

CN 1H-Indole-3-propanoic acid, 6-[3-[[3-(acetylamino)-2-pyridinyl]amino]propoxy]-β-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 354823-42-0 CMF C27 H28 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-CO<sub>2</sub>H

=>

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				patent numbers for U.S. applications
NEWS	11	JUN	19	CAS REGISTRY includes selected substances from web-based collections
NEWS	12	JUN	25	
				reclassification data
NEWS	13	JUN	30	AEROSPACE enhanced with more than 1 million U.S.
				patent records
NEWS	14	JUN	30	EMBASE, EMBAL, and LEMBASE updated with additional
				options to display authors and affiliated
				organizations
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				Assistant and BLAST plug-in
NEWS			30	STN AnaVist enhanced with database content from EPFULL
NEWS	17	JUL	28	CA/CAplus patent coverage enhanced
NEWS	18	JUL	28	EPFULL enhanced with additional legal status
				information from the epoline Register
NEWS	19	JUL	28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
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NEWS	21	AUG	01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	22	AUG	13	CA/CAplus enhanced with printed Chemical Abstracts
				page images from 1967-1998
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NEWS	24	AUG	15	CAplus currency for Korean patents enhanced
NEWS	25	AUG	25	CA/CAplus, CASREACT, and IFI and USPAT databases
				enhanced for more flexible patent number searching
NEWS	26	AUG	27	CAS definition of basic patents expanded to ensure
				comprehensive access to substance and sequence
				information

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 14:09:05 ON 08 SEP 2008

=>

Jploadin

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

#### => FILE REGISTRY

FILE 'REGISTRY' ENTERED AT 14:10:00 ON 08 SEP 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 SEP 2008 HIGHEST RN 1047406-12-1 DICTIONARY FILE UPDATES: 7 SEP 2008 HIGHEST RN 1047406-12-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

chain nodes :

Uploading C:\Program Files\Stnexp\Queries\10552358-12.str

```
10 11 12 13 14 21 22 rring nodes:
1 2 3 4 5 6 7 8 9 25 26 27 28 29 30 ring/chain nodes:
1 7 18 19 20 23 24 chain bonds:
1 8 10 7-21 10-11 11-12 11-15 11-16 12-13 12-17 12-18 13-14 13-19 13-20 14-27 21-22 22-23 22-24 ring bonds:
1 1 2 1 6 2 3 3 4 4 5 5 6 5 7 6 9 7 8 8 9 25-26 25-30 26-27 27-28 28-29 29-30 29-30 5 7 6-9 7 8 8 9 10-11 13-14 14-27 22-23 22-24 exact/norm bonds:
```

7-21 11-12 11-15 11-16 12-13 12-17 12-18 13-19 13-20 21-22

normalized bonds: 1-2 1-6 2-3 3-4 4-5 5-6 25-26 25-30 26-27 27-28 28-29 29-30

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 23:CLASS 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

# L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11
SAMPLE SEARCH INITIATED 14:10:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 272 TO 928
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full FULL SEARCH INITIATED 14:10:21 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 717 TO ITERATE

100.0% PROCESSED 717 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1